EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L2	3	l1 and PIPERAZINYLACYLPIPERIDINE.ti.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/07/27 18:30

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FILE 'REGISTRY' ENTERED AT 15:33:11 ON 27 JUL 2007
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DICTIONARY FILE UPDATES:  26 JUL 2007  HIGHEST RN 943513-14-2

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experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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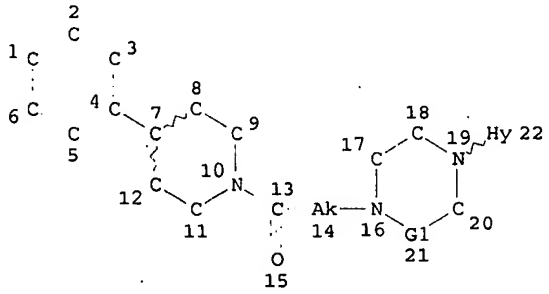


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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  22

STEREO ATTRIBUTES: NONE
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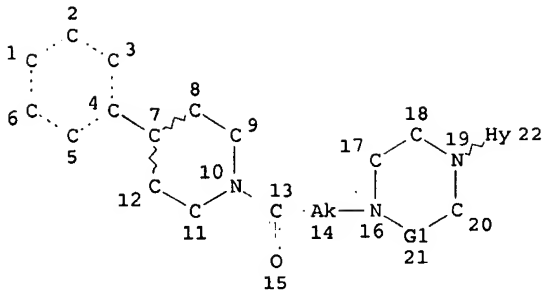

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GGCAT IS UNS AT 22
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RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE
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L10 73363 SEA FILE=REGISTRY ABB=ON PLU=ON 46.383.21/RID
L11 98 SEA FILE=REGISTRY ABB=ON PLU=ON L9 AND L10

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FILE 'HCAPLUS' ENTERED AT 15:33:24 ON 27 JUL 2007

FILE COVERS 1907 - 27 Jul 2007 VOL 147 ISS 6
FILE LAST UPDATED: 26 Jul 2007 (20070726/ED)

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This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d bib abs hitrn fhitstr l14 tot

L14 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:470969 HCAPLUS
DN 143:26636
TI Preparation of 4-[(Arylmethyl)aminomethyl]piperidines as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases
IN Bosch, Michael; Wagnon, Jean
PA Sanofi-Synthelabo, Fr.
SO Fr. Demande, 31 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR---2862968	A1	20050603	2003FR-0014172	20031201

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PRAI	2003FR-0014172	A	20031201		
	2004WO-FR03066	W	20041130		
OS	MARPAT 143:26636				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein X = (CH2)n; n = 1-2; R1 = CF3; R2 = H, alkyl; R3 = (un)substituted pyrrolyl, 1,2,3-thiadiazolyl, pyrazinyl, etc.; and their salts, hydrates and solvates] were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, II was prepared by reacting 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone (preparation given) and 1-methyl-2-pyrrolecarboxaldehyde in THF in the presence of NaBH(OAc)3/AcOH. I inhibited the binding of 125I NGF to p75NTR receptor with IC50 in the range of 10-11 M to 10-6 M at the biochem. level. I inhibited the pro-apoptic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC50 in the range of 10-11 M to 10-6 M at the cellular level.

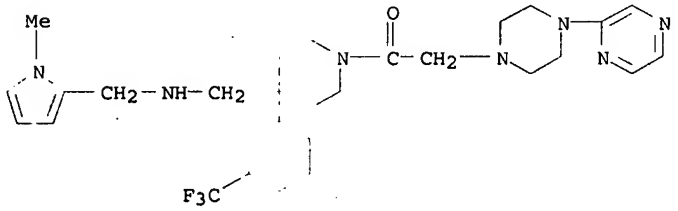
IT **852936-29-9P**, [(1-Methyl-1H-pyrrol-2-yl)methyl][[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine **852936-31-3P** **852936-32-4P**, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(1,3-thiazol-2-yl)methyl]methanamine trihydrochloride **852936-33-5P**, (2-Furylmethyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine **852936-34-6P**, (3-Furylmethyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine **852936-35-7P**, [(5-Methyl-2-furyl)methyl][[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine **852936-36-8P**, [(4,5-Dimethyl-2-furyl)methyl](methyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine trihydrochloride **852936-37-9P**, [(5-Chloro-2-furyl)methyl](methyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine **852936-38-0P**, [[1-[[4-(Pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(2-thienyl)methyl]amine **852936-39-1P**, [[1-[[4-(Pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(3-thienyl)methyl]amine **852936-40-4P**, 1-Phenyl-N-[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]methanamine **852936-41-5P**, [[1-[[4-(Pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(pyridin-2-yl)methyl]amine **852936-42-6P**, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyridin-2-yl)methyl]methanamine **852936-43-7P**, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyridin-3-yl)methyl]methanamine tetrahydrochloride **852936-44-8P**, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyridin-4-yl)methyl]methanamine tetrahydrochloride **852936-45-9P**, N-Methyl-1-(pyrazin-2-yl)-N-[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-

[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]methanamine tetrahydrochloride **852936-46-0P**, [(6-Methylpyridin-2-yl)methyl][[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine **852936-47-1P**, [(3-Methyl-2-thienyl)methyl][[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine trihydrochloride **852936-48-2P 852936-49-3P**, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyrimidin-5-yl)methyl]methanamine **852936-50-6P**, (1H-Imidazol-2-ylmethyl)(methyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine **852936-51-7P**, (1H-Imidazol-5-ylmethyl)(methyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine tetrahydrochloride **852936-52-8P**, N-Methyl-1-(4-methyl-1H-imidazol-5-yl)-N-[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]pyridin-4-yl]methyl]methanamine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 4-[(arylmethyl)aminomethyl]piperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

IT **634461-23-7P**, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone **634464-08-7P**, 1-[4-[(Methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone **634469-57-1P**, tert-Butyl [[1-[2-[4-(2-pyrazinyl)-1-piperazinyl]ethanoyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]carbamate **852936-54-0P**, tert-Butyl [[1-[2-[4-(2-pyrazinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 4-[(arylmethyl)aminomethyl]piperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

IT **852936-29-9P**, [(1-Methyl-1H-pyrrol-2-yl)methyl][[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 4-[(arylmethyl)aminomethyl]piperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

RN 852936-29-9 HCAPLUS
CN 4-Piperidinemethanamine, N-[(1-methyl-1H-pyrrol-2-yl)methyl]-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:991506 HCAPLUS
DN 140:27846
TI Preparation of piperazinylacylpiperidines as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases
IN Bono, Francoise; Bosch, Michaeel; Dos, Santos Victor; Herbert, Jean Marc; Nisato, Dino; Tonnerre, Bernard; Wagnon, Jean
PA Sanofi-Synthelabo, Fr.; Dos Santos, Victor
SO PCT Int. Appl., 81 pp.
CODEN: PIXXD2

DT Patent
LA French
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	AT----325122	T	20060615	2003AT-0757109	20030605
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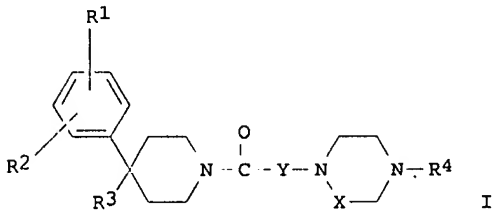
OS MARPAT 140:27846
GI



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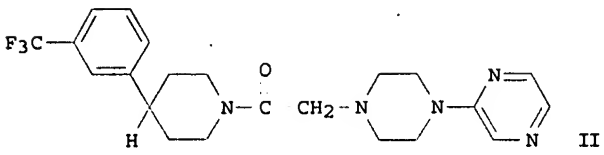


II

AB Title compds. I [wherein: Y = (CH2)n; n = 1 or 2; X = (CH2)p; p = 1 or 2; R1 = halo, CF3, alkyl, alkoxy, trifluoromethoxy; R2 = H, halo; R3 = H, OR5, CH2OR5, NH2 and derivs., NHCOR6 and derivs., NHCONH2 and derivs., CH2NR7R8, CH2NHCONH2 and derivs., alkoxycarbonyl, CONH2 and derivs.; or R3 forms a double bond between the carbon atom where it is bound to and the neighboring carbon atom of the piperidine cycle; R4 = (un)substituted pyridinyl, pyrazinyl, pyrimidinyl, pyridazinyl, 3(2H)-pyridazinon-5-yl, 3(2H)-pyridazinon-4-yl; R5 = H, alkyl, alkylcarbonyl; R6 = alkyl, (CH2)mNH2 and derivs.; m = 1,2, or 3; R7, R8 = independently H, alkyl; R8 = (CH2)qOH, (CH2)qSMe; q = 2 or 3; or R7R8N = aziridine, azetidine,

pyrrolidine, piperidine, morpholine; and their salts, hydrates and solvates] were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, II•HCl was prepared by reacting 1-(2-pyrazinyl)piperazine (preparation given) with 2-chloro-1-[4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone (preparation given) in the presence of KI/K2CO3/MeCN, followed by acidulation with HCl. I inhibited the binding of 125I NGF to p75NTR receptor with IC50 in the range of 10-11 M to 10-6 M at the biochem. level. I inhibited the pro-apoptic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC50 in the range of 10-11 M to 10-6 M at the cellular level.

IT **634461-23-7P**, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone
634461-69-1P 634462-72-9P 634462-91-2P
634463-08-4P 634463-19-7P 634463-39-1P
634464-66-7P 634525-03-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

IT **634461-08-8P**, 2-[4-(2-Pyrazinyl)-1-piperazinyl]-1-[4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone monohydrochloride
634461-18-0P, 1-[4-Hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-3-[4-(2-(pyrazinyl))-1-piperazinyl]-1-propanone oxalate
634462-26-3P 634462-32-1P 634462-38-7P
634462-55-8P 634462-61-6P 634462-68-3P
634462-79-6P, 1-[4-(Hydroxymethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone
634462-83-2P, 1-[4-[(Dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone **634462-87-6P 634462-98-9P**,
1-[4-(4-Chlorophenyl)-3,6-dihydro-1(2H)-pyridinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone **634463-03-9P**, 1-[4-(Aminomethyl)-4-(4-chlorophenyl)-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Trifluoroacetate **634463-13-1P 634463-23-3P**
634463-44-8P 634463-77-7P 634463-93-7P
634464-08-7P, 1-[4-[(Methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone **634464-15-6P**, 1-[4-[(Isopropylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone **634464-20-3P**, 1-[4-[(N-Methylisopropylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Trihydrochloride **634464-24-7P**
634464-29-2P 634464-34-9P 634464-39-4P
634464-44-1P 634464-48-5P, 1-[4-(Aminomethyl)-4-(3-chlorophenyl)-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone
634464-72-5P, 1-[4-(Aminomethyl)-4-(3-methoxyphenyl)-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Dioxalate
634470-24-9P 634525-08-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

IT **634464-71-4P 634469-50-4P**, 1-[2-[4-(2-Pyrazinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinecarbonitrile
634469-57-1P, tert-Butyl [[1-[2-[4-(2-pyrazinyl)-1-piperazinyl]-1-oxoethyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]carbamate
634469-68-4P 634469-69-5P 634469-74-2P,
4-(4-Chlorophenyl)-1-[2-[4-(2-pyrazinyl)-1-piperazinyl]acetyl]-4-piperidinecarbonitrile **634469-86-6P**, tert-Butylmethyl [[1-[2-[4-(2-pyrazinyl)-1-piperazinyl]1-oxoethyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]carbamate
634469-90-2P, 4-(3-Chlorophenyl)-1-[2-[4-(2-pyrazinyl)-1-piperazinyl]acetyl]-4-piperidinecarbonitrile **634469-97-9P**,
4-(3-Methoxyphenyl)-1-[2-[4-(2-pyrazinyl)-1-piperazinyl]acetyl]-4-piperidinecarbonitrile
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

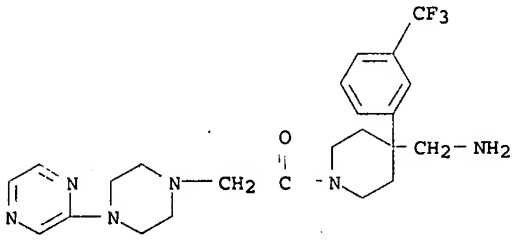
IT 634469-80-0P, 1-[4-(Aminomethyl)-4-phenyl-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone
RL: SPN (Synthetic preparation); PREP (Preparation)
(intermediate; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

IT 634469-81-1P, 1-[4-(Aminomethyl)-4-phenyl-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Trifluoroacetate
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

IT 634461-23-7P, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

RN 634461-23-7 HCAPLUS

CN 4-Piperidinemethanamine, 1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d bib abs hitstr l17 tot

L17 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:991506 HCAPLUS
DN 140:27846
TI Preparation of piperazinylacylpiperidines as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases
IN Bono, Francoise; Bosch, Michaeel; Dos, Santos Victor; Herbert, Jean Marc; Nisato, Dino; Tonnerre, Bernard; Wagnon, Jean
PA Sanofi-Synthelabo, Fr.; Dos Santos, Victor
SO PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO2003104225	A1	20031218	2003WO-FR01685	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA---2487840	A1	20031218	2003CA-2487840	20030605
AU2003255644	A1	20031222	2003AU-0255644	20030605
EP---1513835	A1	20050316	2003EP-0757108	20030605
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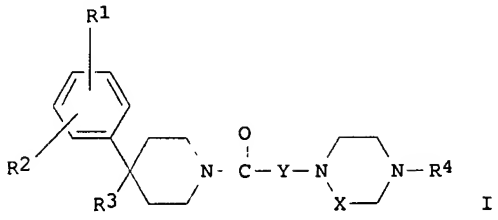
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR2003011828	A	20050329	2003BR-0011828	20030605

	US2005176722	A1	20050811	2003US-0516704	20030605
	CN---1675203	A	20050928	2003CN-0818808	20030605
	JP2005534661	T	20051117	2004JP-0511295	20030605
	AT----325122	T	20060615	2003AT-0757109	20030605
	NZ----537044	A	20060831	2003NZ-0537044	20030605
	AT----336491	T	20060915	2003AT-0757108	20030605
	PT---1513836	T	20060929	2003PT-0757109	20030605
	ES---2264001	T3	20061216	2003ES-3757109	20030605
	ZA2004009823	A	20060726	2004ZA-0009823	20041203
	NO2004005331	A	20050307	2004NO-0005331	20041206
	IN2004KN01862	A	20060407	2004IN-KN01862	20041206
	MX2004PA12341	A	20050930	2004MX-PA12341	20041207
PRAI	2002FR-0007001	A	20020607		
	2003WO-FR01685	W	20030605		

OS MARPAT 140:27846

GI



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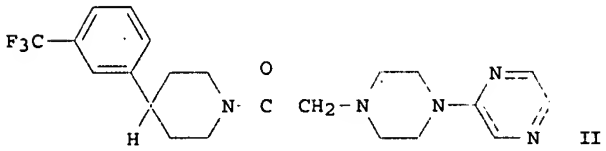

II

AB Title compds. I [wherein: Y = (CH2)n; n = 1 or 2; X = (CH2)p; p = 1 or 2; R1 = halo, CF3, alkyl, alkoxy, trifluoromethoxy; R2 = H, halo; R3 = H, OR5, CH2OR5, NH2 and derivs., NHCOR6 and derivs., NHCONH2 and derivs., CH2NR7R8, CH2NHCONH2 and derivs., alkoxycarbonyl, CONH2 and derivs.; or R3 forms a double bond between the carbon atom where it is bound to and the neighboring carbon atom of the piperidine cycle; R4 = (un)substituted pyridinyl, pyrazinyl, pyrimidinyl, pyridazinyl, 3(2H)-pyridazinon-5-yl, 3(2H)-pyridazinon-4-yl; R5 = H, alkyl, alkylcarbonyl; R6 = alkyl, (CH2)mNH2 and derivs.; m = 1,2, or 3; R7, R8 = independently H, alkyl; R8 = (CH2)qOH, (CH2)qSMe; q = 2 or 3; or R7R8N = aziridine, azetidine, pyrrolidine, piperidine, morpholine; and their salts, hydrates and solvates] were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, II•HCl was prepared by reacting 1-(2-pyrazinyl)piperazine (preparation given) with 2-chloro-1-[4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone (preparation given) in the presence of KI/K2CO3/MeCN, followed by acidulation with HCl. I inhibited the binding of 125I NGF to p75NTR receptor with IC50 in the range of 10-11 M to 10-6 M at the biochem. level. I inhibited the pro-apoptic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC50 in the range of 10-11 M to 10-6 M at the cellular level.

IT **634462-55-8P 634462-61-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

RN 634462-55-8 HCAPLUS

CN Pyridine, 1,2,3,6-tetrahydro-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

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CRN 634462-54-7
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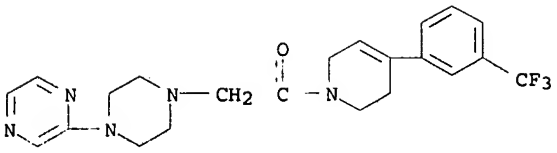
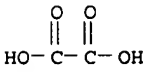


CM 2

CRN 144-62-7
CMF C2 H2 O4



RN 634462-61-6 HCAPLUS
CN Pyridine, 1,2,3,6-tetrahydro-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[2-(trifluoromethyl)phenyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

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CRN 634462-60-5
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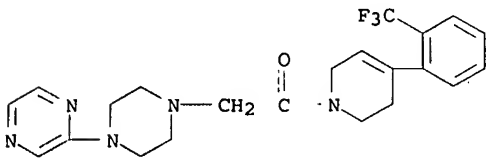
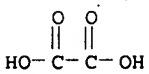


CM 2

CRN 144-62-7
CMF C2 H2 O4



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> b uspatall
FILE 'USPATFULL' ENTERED AT 15:33:59 ON 27 JUL 2007
CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 15:33:59 ON 27 JUL 2007
CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

=> d bib abs hitrn fhitstr l19 tot

L19 ANSWER 1 OF 3 USPATFULL on STN
AN 2007:43120 USPATFULL
TI 4-[(ARYLMETHYL)AMINOMETHYL]PIPERIDINE DERIVATIVES, THEIR PREPARATION AND THEIR THERAPEUTIC APPLICATION
IN Bosch, Michael, Marsillargues, FRANCE

Wagnon, Jean, Montpellier, FRANCE
PA sanofi-aventis, Paris, FRANCE (non-U.S. corporation)
PI US-20070037819 A1 20070215
AI 2006US-000420505 A1 20060526 (11)
RLI Continuation of Ser. No. 2004WO-FR0003066, filed on 30 Nov 2004, UNKNOWN
PRAI 2003FR-0000014172 20031201
DT Utility
FS APPLICATION
LREP ROSS J. OEHLER, SANOFI-AVENTIS U.S. LLC, 1041 ROUTE 202-206, MAIL CODE: D303A, BRIDGEWATER, NJ, 08807, US
CLMN Number of Claims: 14
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 948
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to 4-[(arylmethyl)aminomethyl]piperidine derivatives of general formula (I) ##STR1## in the form of a base or an addition salt with an acid, and also in the form of a hydrate or solvate, and their preparation process and therapeutic application.

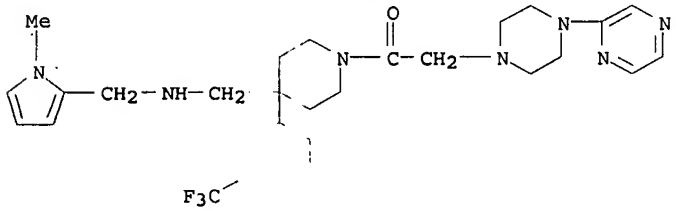
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **852936-29-9P**, [(1-Methyl-1H-pyrrol-2-yl)methyl][[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine **852936-31-3P** **852936-32-4P**, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(1,3-thiazol-2-yl)methyl]methanamine trihydrochloride **852936-33-5P**, (2-Furylmethyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine **852936-34-6P**, (3-Furylmethyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine **852936-35-7P**, [(5-Methyl-2-furyl)methyl][[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine **852936-36-8P**, [(4,5-Dimethyl-2-furyl)methyl](methyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine trihydrochloride **852936-37-9P**, [(5-Chloro-2-furyl)methyl](methyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine **852936-38-0P**, [[1-[[4-(Pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(2-thienyl)methyl]amine **852936-39-1P**, [[1-[[4-(Pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(3-thienyl)methyl]amine **852936-40-4P**, 1-Phenyl-N-[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]methanamine **852936-41-5P**, [[1-[[4-(Pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(pyridin-2-yl)methyl]amine **852936-42-6P**, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyridin-2-yl)methyl]methanamine **852936-43-7P**, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyridin-3-yl)methyl]methanamine tetrahydrochloride **852936-44-8P**, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyridin-4-yl)methyl]methanamine tetrahydrochloride **852936-45-9P**, N-Methyl-1-(pyrazin-2-yl)-N-[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]methanamine tetrahydrochloride **852936-46-0P**, [(6-Methylpyridin-2-yl)methyl][[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine **852936-47-1P**, [(3-Methyl-2-thienyl)methyl][[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine trihydrochloride **852936-48-2P** **852936-49-3P**, N-Methyl-1-[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyrimidin-5-yl)methyl]methanamine **852936-50-6P**, (1H-Imidazol-2-ylmethyl)(methyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine **852936-51-7P**, (1H-Imidazol-5-ylmethyl)(methyl)[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine tetrahydrochloride **852936-52-8P**, N-Methyl-1-(4-methyl-1H-imidazol-5-yl)-N-[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]pyridin-4-yl]methyl]methanamine
(drug candidate; preparation of 4-[(arylmethyl)aminomethyl]piperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)
IT **634461-23-7P**, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-

piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone **634464-08-7P**, 1-[4-[(Methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone **634469-57-1P**, tert-Butyl [[1-[2-[4-(2-pyrazinyl)-1-piperazinyl]ethanoyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]carbamate **852936-54-0P**, tert-Butyl [[1-[2-[4-(2-pyrazinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]carbamate
(intermediate; preparation of 4-[(arylmethyl)aminomethyl]piperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

IT **852936-29-9P**, [(1-Methyl-1H-pyrrol-2-yl)methyl][[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine
(drug candidate; preparation of 4-[(arylmethyl)aminomethyl]piperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

RN 852936-29-9 USPATFULL

CN 4-Piperidinemethanamine, N-[(1-methyl-1H-pyrrol-2-yl)methyl]-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 2 OF 3 USPATFULL on STN

AN 2006:196251 USPATFULL

TI Piperazinylacylpiperidine derivatives, their preparation and therapeutic use thereof

IN Bono, Francoise, Toulouse, FRANCE
Bosch, Michael, Marsillargues, FRANCE
Dos Santos, Victor, Valergues, FRANCE
Herbert, Jean-Marc, Tournefeuille, FRANCE
Nisato, Dino, Saint-Georges D'Orques, FRANCE
Tonnerre, Bernard, Vailhauques, FRANCE
Wagnon, Jean, Montpellier, FRANCE

PA sanofi-aventis, 75013 Paris, FRANCE (non-U.S. corporation)

PI US-20060167007 A1 20060727

AI 2003US-000516808 A1 20030605 (10)
2003WO-FR0001686 20030605
20041203 PCT 371 date

PRAI 2002FR-0000007001 20020607

DT Utility

FS APPLICATION

LREP ROSS J. OEHLER, AVENTIS PHARMACEUTICALS INC., 1041 ROUTE 202-206, MAIL CODE: D303A, BRIDGEWATER, NJ, 08807, US

CLMN Number of Claims: 14

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 2025

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to substituted 1-piperazinylacylpiperidine derivatives of general formula (I) ##STR1## in which: n is 1 or 2;

R.sub.1 represents a halogen atom; a trifluoromethyl radical; a (C.sub.1-C.sub.4) alkyl; a (C.sub.1-C.sub.4)alkoxy; a trifluoromethoxy radical;

R.sub.2 represents a hydrogen atom or a halogen atom;

R.sub.3 represents a hydrogen atom; a group --OR.sub.5; a group --CH.sub.2OR.sub.5; a group --NR.sub.6R.sub.7; a group --NR.sub.8COR.sub.9; a group --NR.sub.8CONR.sub.10R.sub.11; a group --CH.sub.2NR.sub.12R.sub.13; a group --CH.sub.2NR.sub.8CONR.sub.14R.sub.15; a (C.sub.1-C.sub.4)alkoxycarbonyl; a group --CONR.sub.16R.sub.17;

or else R.sub.3 constitutes a double bond between the carbon atom to which it is attached and the adjacent carbon atom of the piperidine ring;

R.sub.4 represents the aromatic group 1,3-thiazol-2-yl of formula: ##STR2## Preparation process and therapeutic application.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **634461-23-7P**, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone
634461-69-1P 634462-72-9P 634462-91-2P
634463-08-4P 634463-19-7P 634463-39-1P
634464-66-7P 634525-03-4P
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

IT **634461-08-8P**, 2-[4-(2-Pyrazinyl)-1-piperazinyl]-1-[4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone monohydrochloride
634461-18-0P, 1-[4-Hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-3-[4-(2-(pyrazinyl))-1-piperazinyl]-1-propanone oxalate
634462-26-3P 634462-32-1P 634462-38-7P
634462-55-8P 634462-61-6P 634462-68-3P
634462-79-6P, 1-[4-(Hydroxymethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone
634462-83-2P, 1-[4-[(Dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone **634462-87-6P 634462-98-9P**,
1-[4-(4-Chlorophenyl)-3,6-dihydro-1(2H)-pyridinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone **634463-03-9P**, 1-[4-(Aminomethyl)-4-(4-chlorophenyl)-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Trifluoroacetate **634463-13-1P 634463-23-3P**
634463-44-8P 634463-77-7P 634463-93-7P
634464-08-7P, 1-[4-[(Methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone **634464-15-6P**, 1-[4-[(Isopropylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone **634464-20-3P**, 1-[4-[(N-Methylisopropylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Trihydrochloride **634464-24-7P**
634464-29-2P 634464-34-9P 634464-39-4P
634464-44-1P 634464-48-5P, 1-[4-(Aminomethyl)-4-(3-chlorophenyl)-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone **634464-72-5P**, 1-[4-(Aminomethyl)-4-(3-methoxyphenyl)-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Dioxalate
634470-24-9P 634525-08-9P
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

IT **634464-71-4P 634469-50-4P**, 1-[2-[4-(2-Pyrazinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinecarbonitrile **634469-57-1P**, tert-Butyl [[1-[2-[4-(2-pyrazinyl)-1-piperazinyl]-1-oxoethyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]carbamate
634469-68-4P 634469-69-5P 634469-74-2P,
4-(4-Chlorophenyl)-1-[2-[4-(2-pyrazinyl)-1-piperazinyl]acetyl]-4-piperidinecarbonitrile **634469-86-6P**, tert-Butylmethyl [[1-[2-[4-(2-pyrazinyl)-1-piperazinyl]1-oxoethyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]carbamate
634469-90-2P, 4-(3-Chlorophenyl)-1-[2-[4-(2-pyrazinyl)-1-piperazinyl]acetyl]-4-piperidinecarbonitrile **634469-97-9P**,
4-(3-Methoxyphenyl)-1-[2-[4-(2-pyrazinyl)-1-piperazinyl]acetyl]-4-piperidinecarbonitrile
(intermediate; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

IT **634469-80-0P**, 1-[4-(Aminomethyl)-4-phenyl-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone
(intermediate; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

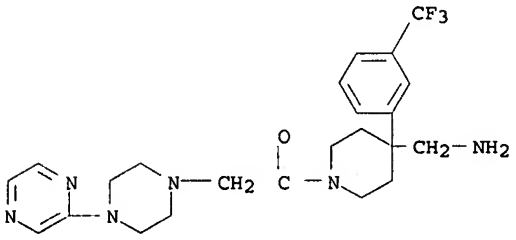
IT **634469-81-1P**, 1-[4-(Aminomethyl)-4-phenyl-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Trifluoroacetate
(preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

IT **634461-23-7P**, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone

(NGF binding inhibitor; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

RN 634461-23-7 USPATFULL

CN 4-Piperidinemethanamine, 1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L19 ANSWER 3 OF 3 USPATFULL on STN

AN 2005:203297 USPATFULL

TI Piperazinylacylpiperidine derivatives, their preparation and therapeutic use thereof

IN Bono, Francoise, Toulouse, FRANCE
Bosch, Michael, Marsillargues, FRANCE
Dos Santos, Victor, Valergues, FRANCE
Herbert, Jean-Marc, Tournefeuille, FRANCE
Nisato, Dino, Saint-Georges D'Orques, FRANCE
Tonnerre, Bernard, Vailhauques, FRANCE
Wagnon, Jean, Montpellier, FRANCE

PA sanofi-aventis, 75013 Paris, FRANCE (non-U.S. corporation)

PI US-20050176722 A1 20050811

AI 2003US-000516704 A1 20030605 (10)
2003WO-FR0001685 20030605

PRAI 2002FR-0000007001 20020607

DT Utility

FS APPLICATION

LREP SANOFI-AVENTIS, PATENT DEPARTMENT-MAIL CODE D-303A, ROUTE 202-206, P.O. BOX 6800, BRIDGEWATER, NJ, 08807, US

CLMN Number of Claims: 26

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 2901

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to substituted 1-piperazinylacylpiperidine derivatives of general formula (I) ##STR1## in which: n is 1 or 2; p is 1 or 2;

R.sub.1 represents a halogen atom; a trifluoromethyl radical; a (C.sub.1-C.sub.4)alkyl; a (C.sub.1-C.sub.4)alkoxy; a trifluoromethoxy radical;

R.sub.2 represents a hydrogen atom or a halogen atom;

R.sub.3 represents a hydrogen atom; a group --OR.sub.5; a group --CH.sub.2OR.sub.5; a group --NR.sub.6R.sub.7; a group --NR.sub.8COR.sub.9; a group --NR.sub.8CONR.sub.10R.sub.11; a group --CH.sub.2NR.sub.12R.sub.13; a group --CH.sub.2NR.sub.8CONR.sub.14R.sub.15; a (C.sub.1-C.sub.4)alkoxycarbonyl; a group --CONR.sub.16R.sub.17;

or else R.sub.3 constitutes a double bond between the carbon atom to which it is attached and the adjacent carbon atom of the piperidine ring;

R.sub.4 represents an aromatic group selected from: ##STR2##

the said aromatic groups being unsubstituted or being mono- or disubstituted by a substituent selected independently from a halogen atom; a (C.sub.1-C.sub.4)alkyl; a (C.sub.1-C.sub.4)alkoxy; a trifluoromethyl radical; Preparation process and therapeutic application.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **634461-23-7P**, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone
634461-69-1P 634462-72-9P 634462-91-2P
634463-08-4P 634463-19-7P 634463-39-1P
634464-66-7P 634525-03-4P
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the

apoptosis induced by NGF)

IT **634461-08-8P**, 2-[4-(2-Pyrazinyl)-1-piperazinyl]-1-[4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone monohydrochloride **634461-18-0P**, 1-[4-Hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-3-[4-(2-(pyrazinyl))-1-piperazinyl]-1-propanone oxalate **634462-26-3P 634462-32-1P 634462-38-7P 634462-55-8P 634462-61-6P 634462-68-3P 634462-79-6P**, 1-[4-(Hydroxymethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone **634462-83-2P**, 1-[4-[(Dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone **634462-87-6P 634462-98-9P**, 1-[4-(4-Chlorophenyl)-3,6-dihydro-1(2H)-pyridinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone **634463-03-9P**, 1-[4-(Aminomethyl)-4-(4-chlorophenyl)-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Trifluoroacetate **634463-13-1P 634463-23-3P 634463-44-8P 634463-77-7P 634463-93-7P 634464-08-7P**, 1-[4-[(Methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone **634464-15-6P**, 1-[4-[(Isopropylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone **634464-20-3P**, 1-[4-[(N-Methylisopropylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Trihydrochloride **634464-24-7P 634464-29-2P 634464-34-9P 634464-39-4P 634464-44-1P 634464-48-5P**, 1-[4-(Aminomethyl)-4-(3-chlorophenyl)-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone **634464-72-5P**, 1-[4-(Aminomethyl)-4-(3-methoxyphenyl)-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Dioxalate **634470-24-9P 634525-08-9P**
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

IT **634464-71-4P 634469-50-4P**, 1-[2-[4-(2-Pyrazinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinecarbonitrile **634469-57-1P**, tert-Butyl [[1-[2-[4-(2-pyrazinyl)-1-piperazinyl]-1-oxoethyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]carbamate **634469-68-4P 634469-69-5P 634469-74-2P**, 4-(4-Chlorophenyl)-1-[2-[4-(2-pyrazinyl)-1-piperazinyl]acetyl]-4-piperidinecarbonitrile **634469-86-6P**, tert-Butylmethyl [[1-[2-[4-(2-pyrazinyl)-1-piperazinyl]1-oxoethyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]carbamate **634469-90-2P**, 4-(3-Chlorophenyl)-1-[2-[4-(2-pyrazinyl)-1-piperazinyl]acetyl]-4-piperidinecarbonitrile **634469-97-9P**, 4-(3-Methoxyphenyl)-1-[2-[4-(2-pyrazinyl)-1-piperazinyl]acetyl]-4-piperidinecarbonitrile
(intermediate; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

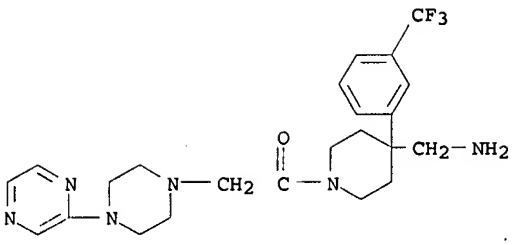
IT **634469-80-0P**, 1-[4-(Aminomethyl)-4-phenyl-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone
(intermediate; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

IT **634469-81-1P**, 1-[4-(Aminomethyl)-4-phenyl-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Trifluoroacetate
(preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

IT **634461-23-7P**, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

RN 634461-23-7 USPATFULL

CN 4-Piperidinemethanamine, 1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



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L20 ANSWER 1 OF 2 USPATFULL on STN
AN 2006:196251 USPATFULL
TI Piperazinylacylpiperidine derivatives, their preparation and therapeutic use thereof
IN Bono, Francoise, Toulouse, FRANCE
Bosch, Michael, Marsillargues, FRANCE
Dos Santos, Victor, Valergues, FRANCE
Herbert, Jean-Marc, Tournefeuille, FRANCE
Nisato, Dino, Saint-Georges D'Orques, FRANCE
Tonnerre, Bernard, Vailhauques, FRANCE
Wagnon, Jean, Montpellier, FRANCE
PA sanofi-aventis, 75013 Paris, FRANCE (non-U.S. corporation)
PI US-20060167007 A1 20060727
AI 2003US-000516808 A1 20030605 (10)
2003WO-FR0001686 20030605
20041203 PCT 371 date
PRAI 2002FR-0000007001 20020607
DT Utility
FS APPLICATION
LREP ROSS J. OEHLER, AVENTIS PHARMACEUTICALS INC., 1041 ROUTE 202-206, MAIL CODE: D303A, BRIDGEWATER, NJ, 08807, US
CLMN Number of Claims: 14
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2025
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to substituted 1-piperazinylacylpiperidine derivatives of general formula (I) ##STR1## in which: n is 1 or 2;

R.sub.1 represents a halogen atom; a trifluoromethyl radical; a (C.sub.1-C.sub.4) alkyl; a (C.sub.1-C.sub.4)alkoxy; a trifluoromethoxy radical;

R.sub.2 represents a hydrogen atom or a halogen atom;

R.sub.3 represents a hydrogen atom; a group --OR.sub.5; a group --CH.sub.2OR.sub.5; a group --NR.sub.6R.sub.7; a group --NR.sub.8COR.sub.9; a group --NR.sub.8CONR.sub.10R.sub.11; a group --CH.sub.2NR.sub.12R.sub.13; a group --CH.sub.2NR.sub.8CONR.sub.14R.sub.15; a (C.sub.1-C.sub.4)alkoxycarbonyl; a group --CONR.sub.16R.sub.17;

or else R.sub.3 constitutes a double bond between the carbon atom to which it is attached and the adjacent carbon atom of the piperidine ring;

R.sub.4 represents the aromatic group 1,3-thiazol-2-yl of formula: ##STR2## Preparation process and therapeutic application.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **634462-55-8P 634462-61-6P**
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)
RN 634462-55-8 USPATFULL
CN Pyridine, 1,2,3,6-tetrahydro-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

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CRN 634462-54-7
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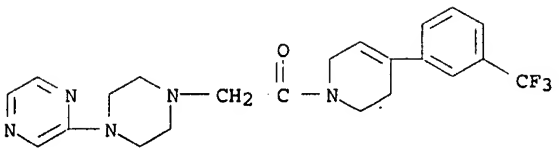

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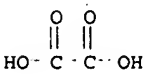

RN 634462-61-6 USPATFULL
CN Pyridine, 1,2,3,6-tetrahydro-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[2-(trifluoromethyl)phenyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

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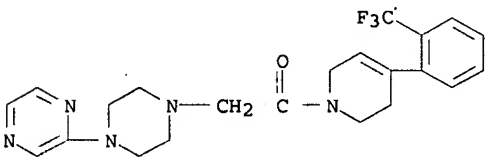

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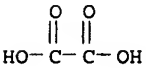

L20 ANSWER 2 OF 2 USPATFULL on STN
AN 2005:203297 USPATFULL
TI Piperazinylacylpiperidine derivatives, their preparation and therapeutic use thereof
IN Bono, Francoise, Toulouse, FRANCE
Bosch, Michael, Marsillargues, FRANCE
Dos Santos, Victor, Valergues, FRANCE
Herbert, Jean-Marc, Tournefeuille, FRANCE
Nisato, Dino, Saint-Georges D'Orques, FRANCE
Tonnerre, Bernard, Vailhauques, FRANCE
Wagnon, Jean, Montpellier, FRANCE
PA sanofi-aventis, 75013 Paris, FRANCE (non-U.S. corporation)
PI US-20050176722 A1 20050811
AI 2003US-000516704 A1 20030605 (10)
2003WO-FR0001685 20030605
PRAI 2002FR-0000007001 20020607
DT Utility
FS APPLICATION
LREP SANOFI-AVENTIS, PATENT DEPARTMENT-MAIL CODE D-303A, ROUTE 202-206, P.O.

BOX 6800, BRIDGEWATER, NJ, 08807, US
CLMN Number of Claims: 26
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2901
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to substituted 1-piperazinylacylpiperidine derivatives of general formula (I) ##STR1## in which: n is 1 or 2; p is 1 or 2;

R.sub.1 represents a halogen atom; a trifluoromethyl radical; a (C.sub.1-C.sub.4)alkyl; a (C.sub.1-C.sub.4)alkoxy; a trifluoromethoxy radical;
R.sub.2 represents a hydrogen atom or a halogen atom;
R.sub.3 represents a hydrogen atom; a group --OR.sub.5; a group --CH.sub.2OR.sub.5; a group --NR.sub.6R.sub.7; a group --NR.sub.8COR.sub.9; a group --NR.sub.8CONR.sub.10R.sub.11; a group --CH.sub.2NR.sub.12R.sub.13; a group --CH.sub.2NR.sub.8CONR.sub.14R.sub.15; a (C.sub.1-C.sub.4)alkoxycarbonyl; a group --CONR.sub.16R.sub.17;
or else R.sub.3 constitutes a double bond between the carbon atom to which it is attached and the adjacent carbon atom of the piperidine ring;
R.sub.4 represents an aromatic group selected from: ##STR2##
the said aromatic groups being unsubstituted or being mono- or disubstituted by a substituent selected independently from a halogen atom; a (C.sub.1-C.sub.4)alkyl; a (C.sub.1-C.sub.4)alkoxy; a trifluoromethyl radical; Preparation process and therapeutic application.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **634462-55-8P 634462-61-6P**
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)
RN 634462-55-8 USPATFULL
CN Pyridine, 1,2,3,6-tetrahydro-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

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CRN 634462-54-7
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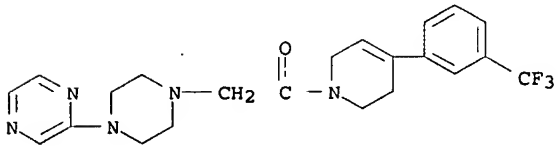

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CRN 144-62-7
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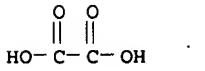
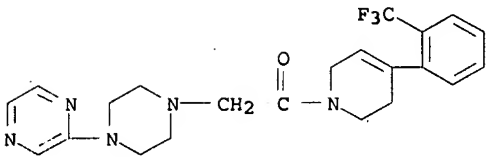


RN 634462-61-6 USPATFULL
CN Pyridine, 1,2,3,6-tetrahydro-1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[2-(trifluoromethyl)phenyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 634462-60-5
CMF C22 H24 F3 N5 O



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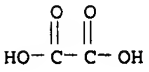

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